

Hashemite University Faculty of Pharmaceutical Sciences Department of Pharmaceutical Chemistry

Semester: First

Year: 2021-2022

Course Information		
Course Title	Medicinal Chemistry Practical	
Course Number	131703452	
Credit Hours	1	
Prerequisites	131703424	

Instructor			
Name	Dr. Rand Shahin		
Office			
Office Phone			
Office Hours	TBD		
E-mail	r.shahin@hu.edu.jo		

Course Description

Medicinal Chemistry is the study of how new drugs are developed and tested. In this course, you learn the basics of drug's synthesis, drug design and development. Medicinal chemistry requires an understanding of how chemistry, biology, mathematics and computing interact with each other to allow the scientist to effectively create new pharmaceuticals that will prevent or stop one or more disease conditions. This practical course in medicinal chemistry concerned with multistep synthesis of selected medicinal compounds.

The successful medicinal chemist is an expert organic chemist who has, or can acquire, sufficient knowledge in other disciplines to apply that knowledge to drug synthesis and design. We shall have opportunities to illustrate the dependence of medicinal chemistry on knowledge from other disciplines as we progress through this course. So our course will build on the experience gained from organic chemistry lab through the synthesis and characterization of complex molecules, the acquisition and interpretation of physical data and the investigation of chemical systems through computational techniques gained in analytical and instrumental labs. It consists of a series of laboratory-based experiments aimed at developing skills in the synthesis, safe handling and analysis of chemical substances of a range of different classes of compounds; an understanding of modern characterization techniques (e.g. chromatography, atomic and molecular spectroscopy); and the operation of instrumentation for the acquisition of kinetic, structural and thermodynamic data.

In addition to increased proficiency in standard techniques, this course provides an introduction into research-based chemistry through integrated and themed experiments. It will provide skill development in a range of techniques utilized in the modern chemistry laboratory. The subject provides experience across multiple traditional chemical disciplines whilst highlighting the importance of these disciplines in diverse 'real world' applications such as materials science and medicinal chemistry.

This Lab class is divided into two parts: the first part will focus on three synthesis cycles; multi – step Synthesis of Sulfanilamide, Benzocaine and Phenytoin, using techniques of organic compounds that an organic chemist uses daily; including crystallization, distillation, and extraction and will be will be run in groups. The second part focuses on Molecular modeling using computer software's for drug design.

Course Objectives

1

- 1. Familiarize students with techniques commonly used in the medicinal chemistry laboratory.
- 2. Learn how synthesis a drug in multisteps and how get it in good yield and in pure form.
- 3. Demonstrate the effect of the different synthetic methodology.
- 4. Clarify theoretical concepts of chemical synthesis of drug molecules.
- 5. Working in the laboratory will give the students experience in handling and proper usage of laboratory glassware, equipment, and chemicals.
- 6. The students should learn how to keep an accurate and readable record of all experimental work and how to write a scientific report.
- 7. Students are expected at the completion of this course to master a variety of synthetic techniques including purification methods and should gain the ability to design a synthetic scheme for a proposed drug molecule.
- 8. Learn how to use different computer sofwares to draw & design drugs and learn how drug interact with its target.
- 9. Equip students with both oral and written communication skills, through your practical report and your written assignments and oral tasks, and through the communications that will be engaged in with lecturers, demonstrators and classmates, especially in the group laboratory work.

Intended Learning Outcomes (ILOs)

Successful completion of the course should lead to the following outcomes:

A. Knowledge and Understanding:

- 1. To understand.
- 2. To know the structures of different drugs.
- 3. To know the general laboratory safety and basic techniques.
- 4. To understand the principle of drug synthesis.

B. Intellectual skills (cognitive and analytical):

- 1. To realize any mistakes done during the assay and try to avoid it.
- 2. To be able to create a chemical assay for identification the quality of drug.
- 3. The student is expected to develop the ability to suggest suitable techniques to synthesis different drug molecules.
- 4. The student is expected to interpret scientific data and make sound scientific conclusions.

C. Subject specific skills

The student is expected to learn how to conduct chemical reactions within medicinal chemistry context this includes:

- 1. How to set up chemical instruments and tools in an experiment.
- 2. How to mix reactants, solvents and reagents within experimental context.
- 3. How to isolate and purify reaction products through (not limited to) chromatography, crystallization, distillation.
- 4. Identification and characterization of the final products through standard chemical procedures such as melting point, NMR, etc.

D. Transferable Skills

- 1. Team work.
- 2. Use oral communication to effectively transmit ideas and conclusions to a scientific audience.
- 3. Develop of problem solving and critical thinking skills.

	Reading List / References: Supplementary Textbook(s)				
1	Wilson and Gisvold's Textbook of Organic, Medicinal and Pharmaceutical Chemistry, 12th Edition, 2011, Lea & Febiger.				
2	Foye's Principles of Medicinal Chemistry, David A Williams, William O Foye and Thomas L Lemke, 6th Edition, 2008, Lippincott Williams & Wilkins.				
3	Organic Chemistry: A Short Course. By Harold Hart, Leslie E. Craine, David J. Hart. Publisher: Houghton Mifflin College; 10th edition (January 1999) ISBN. 0395902258				

	Course Contents				
Week	Credit Hours	ILOs	Topics	Teaching Procedure	Assessment methods
1	1	A1, A3, C1, D1,	General instruction and safety rules And laboratory apparatus	Lecture+ discussion Video presentations & Animation	- Class participation
2	3	A1, A2, A4, B2, B3, D1	Multi-step Synthesis of Sulfanilamide: Synthesis of Acetanilide	Brief discussion + Video for laboratory work + Brain storming	 Class participation Laboratory Report Quizzes Lab work evaluation Assignment
3	3	A1, A2, A3, A4, B1, B2, C1, C3, C4, B3, D3, D1	Multi-step Synthesis of Sulfanilamide: Synthesis of p-Acetamidobenzenesulfonyl chloride	Brief discussion + Video for laboratory work + Brain storming	 Class participation Laboratory Report Quizzes Lab work evaluation Assignment
4	3	A1, A2, A3, A4, B1, B2, C1, C3, C4, B3, D3, D1	Multi-step Synthesis of Sulfanilamide: Synthesis of p-Acetamidobenzenesulfonamide	Brief discussion + Video for laboratory work + Brain storming	 Class participation Laboratory Report Quizzes Lab work evaluation Assignment
5	3	A1, A2, A3, A4, B1, B2, C1, C3, C4, B3, D3, D1	Multi-step Synthesis of Sulfanilamide: Synthesis of p-Aminobenzenesulfonamide (Sulfanilamide)	Brief discussion + Video for laboratory work + Brain storming	 Class participation Laboratory Report Quizzes Lab work evaluation Assignment
6	3	A1, A2, A3, A4, B1, B2, C1, C3, C4, B3, D3, D1	Benzocaine synthesis	Brief discussion + Video for laboratory work + Brain storming	 Class participation Laboratory Report Quizzes Lab work evaluation Assignment
7			Mid-term examina	tion	
8	3	A1, A2, A3, A4, B1, B2, C1, C3, C4, B3, D3, D1	Multi – step Synthesis of Phenytoin: Benzoin condensation	Brief discussion + Video for laboratory work + Brain storming	- Class participation - Laboratory Report - Quizzes - Lab work evaluation - Assignment
9	3	A1, A2, A3, A4, B1, B2, C1, C3, C4, B3, D3, D1	Multi – step Synthesis of Phenytoin: Oxidation of Benzoin to Benzil	Brief discussion + Video for laboratory work + Brain storming	- Class participation - Laboratory Report Quizzes - Lab work evaluation
10	3	A1, A2, A3, A4, B1, B2, C1, C3, C4, B3,	Multi – step Synthesis of Phenytoin: condensation of Benzil with urea to form dilantin (Phenytoin).	Brief discussion + Video for laboratory work + Brain storming	- Class participation - Laboratory Report - Quizzes - Lab work evaluation

3

ò

	<u></u>	<u></u>			
		D3, D1			
11	3	A1, B4, D2, D3	Molecular modeling: In silico prediction of Ionization Constants of Drugs	Lecture+ discussion Video for laboratory work	 Class participation Laboratory Report Quizzes
12	3	A1, B4, D2, D3	Molecular modeling: SAR analysis using accelrys software	Lecture+ discussion Video for laboratory work	 Class participation Laboratory Report Quizzes Lab work evaluation
13	13 Final examination week				
Grade Distribution					
Assessment			Grade	Date	
1. Qv	1. Quiz 10% weekly				
2. Midterm exam			30% Tr	o be arranged	
3. Report			10% w	eekly	

Important regulations

weekly

To be arranged

10%

40%

• On average, students need to spend 3 hrs of study and preparation weekly.

4. Evaluation

5. Final Examination

- Excellent attendence is expected. According to the university policy, students who miss more than 15% of the lecture hours with or without excuse will be dismissed from the course
- At the beginning of the lab, be on time and don't leave before the end of the lab session without an accepted excuse
- If you missed a lab session, it is your responsibility to find out about any announcements or assignments you have missed
- For any clarification, please communicate your instructor at his posted office hours or by appointment
- Switch off your mobile or keep it silent throughout the lecture
- Listen well to the lab disscution and avoid side discussions, if you have a question, ask your instructor and not your collegue
- If you have any information, document your reference, if you didn't, then you broke the intellectual property rights law and the law will be applied
- Exams are scheduled to be given two times throughout the semester, your are expected to attend all. If not, make-up exams will be offered for valid reasons. It may be different from regular exams in content and format.
- Cheating, academic diconduct, fabrication and plagiarism will not be tolerated, and the university policy will be applied
- Each student is expected to familirize himself with <u>laboratory rules and safty precution.</u>

Last updated on 8 / 10 /2021 by : Dr. Rand Shahin